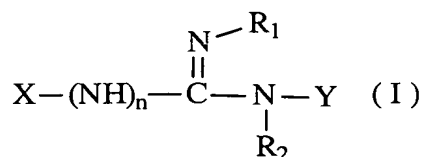


# CLAIMS

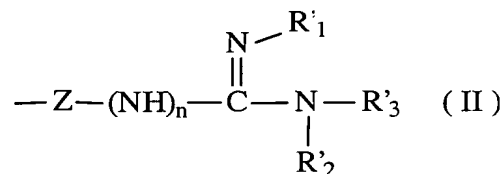
1/ Compounds having an anti-parasitic, in particular antimalarial, activity characterized in that they correspond to general formula (I)



5

in which

- either X represents a group of formula (II)



where Z is a  $-(\text{CH}_2)_m$  group, with  $m = 8$  to  $21$ ,

10  $n = 0$  or  $1$ 

and  $\text{Y} = \text{R}_3$ ,

$\text{R}_1$  and  $\text{R}'_1$ , identical to or different from one another, being chosen from H, alkyl, OH, O-alkyl, O-aryl, O-CO-alkyl, O-CO-aryl,  $\text{OSO}_2$ -alkyl,  $\text{OSO}_2$ -aryl,  $\text{OSO}_2$ -heterocycle, O-CO-O(or S or NH)-alkyl, O-CO-O(or S or NH)-aryl, PO(O-alkyl or O-aryl)<sub>2</sub>, CO-O-CH<sub>2</sub>-aryl, cycloalkyl,

15

$\text{R}_2$  and  $\text{R}'_2$ , identical to or different from one another, being chosen from H, alkyl, CO-O-CH<sub>2</sub>-aryl, CO-O-alkyl, cycloalkyl,

$\text{R}_3$  and  $\text{R}'_3$ , identical to or different from one another, representing H, alkyl, CO-O-aryl, COO-CH(R)-O-CO-alkyl, PO(O-alkyl or O-aryl or ONa)<sub>2</sub>, CO-O-CH(R)-aryl,

. R being H or alkyl,

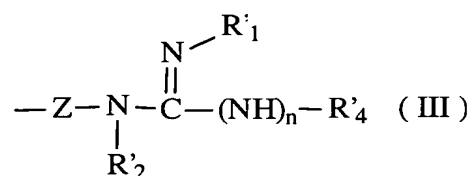
or

. R<sub>1</sub> and R<sub>2</sub>, and/or R'<sub>1</sub> and R'<sub>2</sub>, or R<sub>2</sub> and R<sub>3</sub> and/or R'<sub>2</sub> and R'<sub>3</sub>, together form a mono heterocycle with the nitrogen atom or atoms to which they are respectively attached,

5 or also,

. R<sub>2</sub> and R<sub>3</sub> and/or R'<sub>2</sub> and R'<sub>3</sub> can be the same substituent, double-bonded to the nitrogen, cyclized with, respectively, R<sub>1</sub> or R'<sub>1</sub> in order to form a heterocycle, if appropriate substituted by R<sub>a</sub>, which is chosen from H, alkyl, alkyl substituted by 1, 2 or 3 halogen atoms, aryl, CO-O-alkyl (or aryl), -CO-OH, -CO-NH<sub>2</sub>, -CN, -CO-NH-alkyl (or aryl), -CO-N-(alkyl)<sub>2</sub>, nitrogenated and/or oxygenated -CO-heterocycle, NH(H or alkyl), N(alkyl)<sub>2</sub>, nitrogenated and/or oxygenated heterocycle, -O-alkyl (or aryl), -O-CH<sub>2</sub>-aryl, CH<sub>2</sub>N[H, (H, alkyl), (dialkyl), aryl], nitrogenated and/or oxygenated -CH<sub>2</sub>-heterocycle, CH<sub>2</sub>-CO-OH,

- or X = R<sub>4</sub> and Y represents a group of formula (III)



with n and Z as defined above,

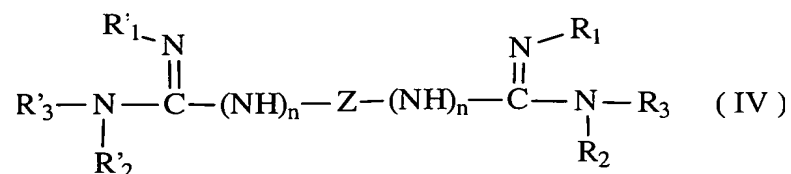
.R<sub>1</sub> and R'<sub>1</sub>, identical to or different from one another, being chosen from H, alkyl, OH, O-alkyl, O-aryl, O-CO-alkyl, O-CO-aryl, OSO<sub>2</sub>-alkyl, OSO<sub>2</sub>-aryl, OSO<sub>2</sub>-heterocycle, O-CO-O(or S or NH)-alkyl, O-CO-O(or S or NH)-aryl, PO(O-alkyl or O-aryl)<sub>2</sub>, CO-O-CH<sub>2</sub>-aryl, cycloalkyl,

.  $R_4$  and  $R'_4$  represent an H, alkyl or aryl, which can be substituted by OH, O-alkyl, O-aryl, NH (H or alkyl), nitrogenated or oxygenated heterocycle, and

.  $R_2$  and  $R'_2$ , identical to or different from one another, being chosen from H, alkyl, CO-O-CH<sub>2</sub>-aryl, CO-O-alkyl, cycloalkyl, or

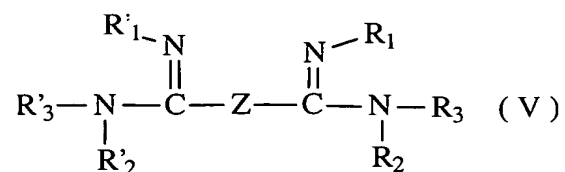
- 5 .  $R_1$  and  $R_4$  and/or  $R_1$  and  $R'_4$  together form a  $-(CH_2)_p$  group,  $p$  being an integer from 1 to 5, one or several hydrogen atoms being optionally changed for a lower alkyl and  $R_2$  and  $R'_2$  representing H, or  $R_4$  and  $R_2$  and/or  $R_4$  and  $R'_2$  together form a  $-(CH_2)_p$  group, one or several H being optionally changed for a lower alkyl,  $R_1$  and  $R'_1$  representing H, and the pharmacologically acceptable salts of these compounds.

- 10 2/ Compounds according to claim 1, characterized in that they correspond to formula (IV)



in which  $n$ ,  $Z$ ,  $R_1, R'_1, R_2, R'_2, R_3$  and  $R'_3$  are as defined in claim 1.

- 15 3/ Compounds according to claim 2, characterized in that they correspond to formula (V)



in which Z, R<sub>1</sub>, R'<sub>1</sub>, R<sub>2</sub>, R'<sub>2</sub>, R<sub>3</sub> and R'<sub>3</sub> are as defined in claim 1.

4/ Compounds according to claim 3, characterized in that R<sub>1</sub>, R'<sub>1</sub>, R<sub>2</sub>, R'<sub>2</sub>, R<sub>3</sub> and R'<sub>3</sub> are independent of one another.

5/ Compounds according to claim 4, characterized in that R<sub>1</sub> and/or R'<sub>1</sub> are as defined above, but do not represent a hydrogen atom, whilst R<sub>3</sub> and/or R'<sub>3</sub>, R<sub>2</sub> and/or R'<sub>2</sub>, represent a hydrogen atom, R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub>.

6/ Compounds according to claim 5, characterized in that R<sub>1</sub> and/or R'<sub>1</sub>, and R<sub>2</sub> and/or R'<sub>2</sub> represent a hydrogen atom, whilst R<sub>3</sub> and/or R'<sub>3</sub> are as defined above, but different from a hydrogen atom.

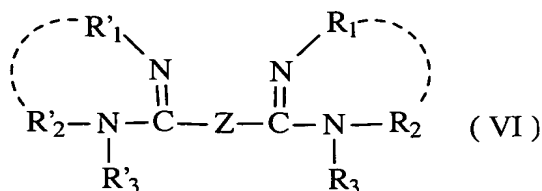
10 7/ Compounds according to claim 3, characterized in that

– R<sub>1</sub> and R<sub>2</sub>, and/or R'<sub>1</sub> and R'<sub>2</sub>, or

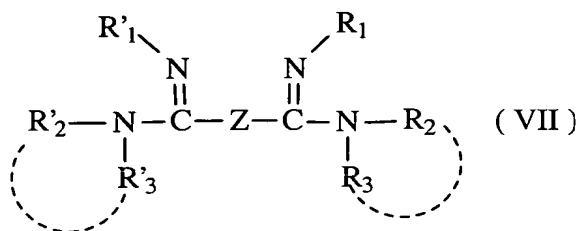
– R<sub>2</sub> and R<sub>3</sub>, and/or R'<sub>2</sub> and R'<sub>3</sub>, or

– R<sub>1</sub>, R<sub>2</sub> and R<sub>3</sub> and/or R'<sub>1</sub>, R'<sub>2</sub> and R'<sub>3</sub> together form a heterocycle.

15 8/ Compounds according to claim 7, characterized in that R<sub>1</sub> and R<sub>2</sub> as well as R'<sub>1</sub> and R'<sub>2</sub> form a heterocycle and correspond to formula (VI)



9/ Compounds according to claim 7, characterized in that they correspond to formula (VII)

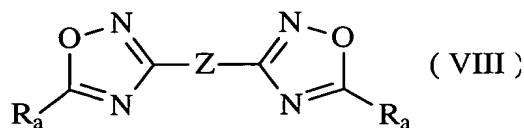


10/ Compounds according to claim 8, characterized in that formula (VI) R<sub>1</sub> and R<sub>2</sub> and/or R'<sub>1</sub> and R'<sub>2</sub> together form an -O-CO-, O-SO-, O-CS, S-CO or -S-CS group, and R<sub>3</sub> and/or R'<sub>3</sub> represent a hydrogen atom.

11/ Compounds according to claim 8, characterized in that R<sub>1</sub> and R<sub>2</sub>, and/or R'<sub>1</sub> and R'<sub>2</sub> represent an optionally branched alkylene group and R<sub>3</sub> and/or R'<sub>3</sub> represent -CO-O-alkyl (or aryl), -CO-O-CH<sub>2</sub>-aryl, CO-O-CH(alkyl)-O-CO-alkyl, PO(O-alkyl or -aryl)<sub>2</sub>, alkyl or H.

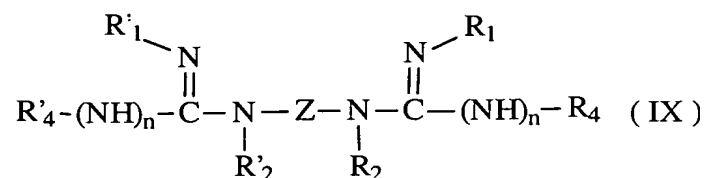
12/ Compounds according to claim 9, characterized in that R<sub>1</sub> and/or R'<sub>1</sub> represent a hydrogen atom, and R<sub>2</sub> and R<sub>3</sub>, and/or R'<sub>2</sub> and/or R'<sub>3</sub> represent a -(CH<sub>2</sub>)<sub>p</sub>- group.

13/ Compounds according to claim 2, characterized in that R<sub>2</sub> and R<sub>3</sub> and/or R'<sub>2</sub> and R'<sub>3</sub> form a same substituent and form together with R<sub>1</sub> or respectively R'<sub>1</sub> a bis-oxadiazole of formula (VIII.)

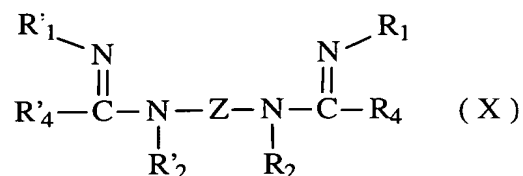


in which R<sub>a</sub> is as defined above.

14/ Compounds according to claim 1, characterized in that they correspond to formula (IX)



15/ Compounds according to claim 14, characterized in that Z = -(CH<sub>2</sub>)<sub>m</sub> and  
5 n = 0, the compounds corresponding to the formula (X)



16/ Compounds according to claim 15, characterized in that the substituents are independent of one another.

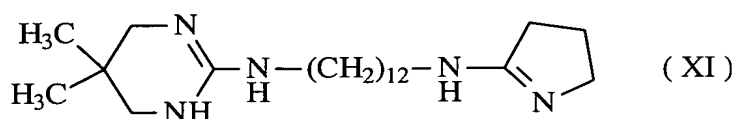
17/ Compounds according to claim 16, characterized in that R<sub>1</sub> and R<sub>4</sub> and/or  
10 R'<sub>1</sub> and R'<sub>4</sub> are as defined above and R<sub>2</sub> and R'<sub>2</sub> represent a hydrogen atom.

18/ Compounds according to claim 16, characterized in that R<sub>1</sub> and R<sub>2</sub> and /or R'<sub>1</sub>, and R'<sub>2</sub> together represent an oxycarbonyl – OCO – chain and R<sub>4</sub> and R'<sub>4</sub> are as defined above.

19/ Compounds according to claim 16, characterized in that R<sub>1</sub> and R<sub>4</sub> and/or  
15 R'<sub>1</sub> and R'<sub>4</sub> together represent a –(CH<sub>2</sub>)<sub>p</sub>– group where p is an integer from 3 to 5 and R<sub>2</sub> and R'<sub>2</sub> represent H.

20/ Compounds according to claim 16, characterized in that  $R_1$  and  $R'_1$  represent H and  $R_4$  and  $R_2$  and/or  $R'_4$  and  $R'_2$  together represent a  $-(CH_2)_p$ - group where p is an integer from 3 to 5, and one or more hydrogen atoms can be replaced by a lower alkyl.

5            21/ Compound according to claim 16, characterized in that it corresponds to formula (XI)



10            22/ Process for obtaining carbamates and of N-phosphorylated derivatives of general formula (V), characterized in that it comprises the reaction in a diphasic medium of the bisamidine compounds of general formula (V) in which  $R_3$  and  $R'_3 =$  H with a  $Cl-R_3$  (or  $R'_3$ ) derivative where  $R_3$  and  $R'_3$  are as defined above and different from H.

15            23/ Process for obtaining amidoxime derivatives of general formula (X), characterized in that it comprises the reaction in a basic medium of the bisamidoximes of general formula (X) in which  $R_1$  and  $R'_1 = OH$  and the appropriate reagent.

20            24/ Process according to claim 23, characterized in that in order to obtain compounds of general formula (VI) group a2 and (VIII) group a4 defined above, intramolecular cyclization of amidoxime or of amidoxime derivatives previously defined by general formula (V) group a1 is carried out in the presence of the appropriate reagent.

25/ Pharmaceutical compositions, characterized in that they contain an effective quantity of at least one compound as defined in any one of claims 1 to 21 in association with an inert pharmaceutical vehicle.

5 26/ Pharmaceutical compositions according to claim 25, characterized in that they can be administered by oral route, by injectable route, or also by rectal route.

27/ Compositions according to claim 25 or 26 for the treatment of infectious diseases, in particular malaria, characterized in that they comprise an effective quantity of the compounds according to any one of claims 1 to 21.

10 28/ Use of at least one compound according to any one of claims 1 to 21 for making medicaments for the treatment of anti-parasitic diseases, in particular malaria.

29/ Use of at least one compound according to any one of claims 1 to 21 for making medicaments for the treatment of anti-parasitic diseases, in particular malaria and babesioses.